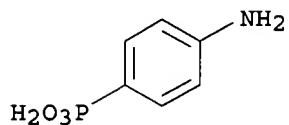


CN Phosphonic acid, (4-aminophenyl)- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 14:34:46 ON 05 JUL 2002)

FILE 'CAPLUS' ENTERED AT 14:35:02 ON 05 JUL 2002  
S 6881-57-8/REG# AND PHARMACEUTICAL

FILE 'REGISTRY' ENTERED AT 14:35:28 ON 05 JUL 2002

L1 1 S 6881-57-8/RN

FILE 'CAPLUS' ENTERED AT 14:35:28 ON 05 JUL 2002

L2 120 S L1

L3 3 S L2 AND PHARMACEUTICAL

L4 2 S L2 AND (BLOOD OR DISEASE)

L5 0 S 1/P

FILE 'REGISTRY' ENTERED AT 14:38:00 ON 05 JUL 2002

L6 801287 S 1/P

L7 80370 S L6 AND PHOSPHONIC ACID

L8 2810784 S 1/NR

L9 25016 S L7 AND L8

L10 12910 S L9 AND (PHENYL OR BENZYL)

L11 2322 S L10 NOT ESTER

L12 1612 S L11 AND 1/NC

L13 1585 S L12 NOT M/ELS

L14 643 S L13 AND 3/O

FILE 'CAPLUS' ENTERED AT 14:40:01 ON 05 JUL 2002

L15 1 S L14 (L) PHARMACEUTICAL

=> s l13 and (drug or pharmaceutical)

2898 L13

436228 DRUG

241764 DRUGS

563970 DRUG

(DRUG OR DRUGS)

158313 PHARMACEUTICAL

68076 PHARMACEUTICALS

197679 PHARMACEUTICAL

(PHARMACEUTICAL OR PHARMACEUTICALS)

L16 71 L13 AND (DRUG OR PHARMACEUTICAL)

=> s phosph?/ti and l16

471255 PHOSPH?/TI

L17 18 PHOSPH?/TI AND L16

=> d ti 1-18

L17 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS

TI Preparation of **phosphate** derivatives as immunosuppressants

L17 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS

- TI Sulfonamidomethyl **phosphonate** inhibitors of .beta.-lactamase
- L17 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI **Phosphonated** agents and their antiangiogenic and antitumorigenic use
- L17 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Inhibition of .beta.2glycoprotein I binding to anionic **phospholipids**: A strategy for the development of antiphospholipid syndrome-specific **drugs**
- L17 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI NMR-based discovery of **phosphotyrosine** mimetics that bind to the Lck SH2 domain
- L17 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI **Phosphonated** agents and their antiangiogenic and antitumorigenic use
- L17 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Derivatization of pamidronate and other amino(bis)**phosphonates** with different isothiocyanates prior to ion-pair liquid chromatography
- L17 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Preparation of carboxamide-derived **phosphonates** and their use in making **drugs** for cancer, hyperlipidemia, hypercholesterolemia, related cardiovascular diseases, fungal skin diseases and other fungal infections
- L17 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Preparation and osteogenesis stimulation by **phosphonic** acid compounds
- L17 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI In situ characterization of nasal leucine enkephalin degrading aminopeptidase. Susceptibility of the nasal enzyme to boronic acids and **phosphorus**-containing peptide and amino acid isosteres
- L17 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Synthesis and bioactivation of bis(aryloxymethyl) and mono(aryloxymethyl) esters of benzylphosphonate and **phosphonoacetate**
- L17 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI pH-stat methodology in continuous monitoring of the kinetics of hydrolysis of **phosphate** esters catalyzed by alkaline **phosphatase** from human placenta. II. Kinetic aspects
- L17 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Enzymic preparation of **phosphono**-L-di- or tripeptides, their use as antibacterial agents, and **pharmaceutical** formulations containing them
- L17 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI **Phosphorus**-containing oligopeptides and a **pharmaceutical** composition containing them
- L17 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Substituted **phosphonamides** and a **pharmaceutical** composition which is useful in the treatment of hypertension
- L17 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2002 ACS
- TI Bioavailability and metabolism of potassium **phosphanilate** in laboratory animals and humans

L17 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2002 ACS  
 TI Amide derivatives of **phosphonoformic acid**,  
**pharmaceutical** compositions and methods for combating virus  
 infections

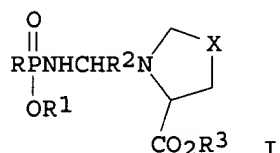
L17 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS  
 TI **Phosphonopeptides** as antibacterial agents: alaphosphin and  
 related **phosphonopeptides**

=> d ibib abs hitstr 15

L17 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1983:89926 CAPLUS  
 DOCUMENT NUMBER: 98:89926  
 TITLE: Substituted **phosphonamides** and a  
**pharmaceutical** composition which is useful in  
 the treatment of hypertension  
 INVENTOR(S): Greenlee, William J.; Patchett, Arthur A.; Harris,  
 Elbert E.; Thorsett, Eugene D.  
 PATENT ASSIGNEE(S): Merck and Co., Inc. , USA  
 SOURCE: Eur. Pat. Appl., 28 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 58427	A2	19820825	EP 1982-101134	19820216
EP 58427	A3	19831005		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4379146	A	19830405	US 1981-318221	19811105
PRIORITY APPLN. INFO.:			US 1981-235336	19810217
			US 1981-318221	19811105

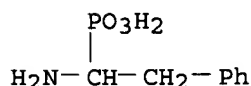
GI



AB Phosphonyl peptides I [R = (un)substituted C1-6 alkyl, (un)substituted  
 aralkyl (C1-4 alkyl), (un)substituted heteroaryl (C1-4 alkyl); R1 = H,  
 C1-4 alkyl, aralkyl; R2 = H, C1-6 alkyl, aralkyl; R3 = H, C1-C6 alkyl,  
 aralkyl; X = (CH2)n (n = 1, 2), CH(OMe), CH(OH), S] were prepd. as  
 antihypertensives (no data) due to their ability to inhibit  
 angiotensin-converting enzyme. Thus, dibenzyl phosphite was treated with  
 Ph(CH2)3Br in DMF in the presence of NaH to give Ph(CH2)3P(O) (OCH2Ph)2,  
 which was chlorinated with PCl5 to give Ph(CH2)3P(O) (OCH2Ph)Cl. The  
 latter was treated with H-Ala-Pro-OCH2Ph.HCl in CH2Cl2 contg. Et3N to give  
 Ph(CH2)3P(O) (OCH2Ph)-Ala-Pro-OCH2Ph, which was deblocked by hydrogenolysis  
 over Pd/C in aq. EtOH contg. NaHCO3 to give Ph(CH2)3P(O) (OH)-Ala-Pro-  
 OH.2Na.

IT 6324-00-1  
 RL: RCT (Reactant)  
 (N-benzyloxycarbonylation of)

RN 6324-00-1 CAPLUS  
CN Phosphonic acid, (1-amino-2-phenylethyl)- (9CI) (CA INDEX NAME)



=> d ibib abs hitstr 18

L17 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1979:483198 CAPLUS

DOCUMENT NUMBER: 91:83198

TITLE: **Phosphonopeptides** as antibacterial agents:  
alaphosphin and related **phosphonopeptides**

AUTHOR(S): Allen, John G.; Atherton, Frank R.; Hall, Michael J.;  
Hassall, Cedric H.; Holmes, Simon W.; Lambert, Robert  
W.; Nisbet, Louis J.; Ringrose, Peter S.

CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City, Engl.

SOURCE: Antimicrob. Agents Chemother. (1979), 15(5), 684-95  
CODEN: AMACQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Alaphosphin (L-alanyl-L-1-aminoethylphosphonic acid) [60668-24-8], was selected from a range of phosphonopeptides for evaluation in humans on the basis of its antibacterial activity, pharmacokinetics, and stability to intestinal and kidney peptidase [9031-96-3]. In vitro, the antibacterial action was antagonized by small peptides, resulting in low activity on peptone media. On an antagonist-free medium alaphosphin was bactericidal and rapidly lysed most susceptible gram-neg. bacteria, but it was largely bacteriostatic and essentially nonlytic against gram-pos. organisms. Its spectrum included most strains normally isolated from urinary tract infections, but potency was greatly reduced by very high inoculum levels and by alk. pH. Although strains of Proteus and Pseudomonas were less susceptible to alaphosphin than were other common gram-neg. bacteria, like other species they formed spheroplasts when exposed under appropriate conditions. Alaphosphin was equally effective against penicillin-susceptible and -resistant strains and showed no cross-resistance with known antibiotics. Good synergy and increased bactericidal activity were demonstrated with combinations of alaphosphin and D-cycloserine or .beta.-lactam antibiotics. In vivo, alaphosphin was active against Escherichia coli, Klebsiella aerogenes, and Streptococcus faecalis in a mouse septicemia model given s.c., alaphosphin was rapidly absorbed and eliminated in mice; peak dose-related plasma concns. were reached within 5-10 min. Absorption of orally administered **drug** was 15-20%. Alaphosphin and 3 other phosphonopeptides tested were equally sensitive to kidney and intestinal peptidases.

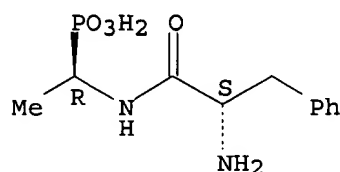
IT 60668-55-5

RL: BAC (Biological activity or effector, except adverse); BIOL  
(Biological study)  
(bactericidal action of)

RN 60668-55-5 CAPLUS

CN Phosphonic acid, [1-[(2-amino-1-oxo-3-phenylpropyl)amino]ethyl]-,  
[R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 13

L17 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1988:4678 CAPLUS

DOCUMENT NUMBER: 108:4678

TITLE: Enzymic preparation of **phosphono-L-di-** or tripeptides, their use as antibacterial agents, and **pharmaceutical** formulations containing them

INVENTOR(S): Le Breton, Monique; Moriniere, Jean Luc; Danree, Bernard; Chasseray, Odile; Rousseau, Claude; Lacolle, Jean Yves

PATENT ASSIGNEE(S): Institut de Recherches Chimiques et Biologiques Appliquees (IRCEBA), Fr.

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 209430	A2	19870121	EP 1986-401371	19860623
EP 209430	A3	19881005		
EP 209430	B1	19920617		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2584077	A1	19870102	FR 1985-9931	19850628
FR 2584077	B1	19880708		
AT 77411	E	19920715	AT 1986-401371	19860623
JP 62048697	A2	19870303	JP 1986-152604	19860628
PRIORITY APPLN. INFO.:			FR 1985-9931	19850628
			EP 1986-401371	19860623

AB The title peptides are prepd. by reaction of (a) an .alpha.-amino acid or a carboxylic dipeptide with (b) an .alpha.-aminophosphonic acid or (a') an .alpha.-aminocarboxylic acid with (b') a phosphono-L-dipeptide at >2 mol (b) or (b') to 1 mol (a) or (a') in an aq. acidic solvent in the presence of papain or chymopapain at 10-70.degree. and collection of the product polypeptides in a sep. phase. These peptides have antibacterial activity against a wide variety of gram-pos. and -neg. bacteria and can be used in medicaments. L-Alanyl-L-(1-aminoethyl)phosphonic acid was synthesized by reacting N-benzyloxycarbonyl-L-alanine with DL-(1-amino)ethylphosphonic acid Et ester in the presence of L-cysteine-HCl and papain at pH 4.5 for 15 h under agitation with CCl4, followed by deprotection using 35% HBr in AcOH. The product, obtained optically pure in 65% yield, was reacted with 2-amino-2-(hydroxymethyl)-1,3-propanediol at 40.degree. for 2 h to give a salt in 99% yield. This salt was effective against gram-pos. and -neg. bacteria, e.g. the min. inhibitory concn. for Escherichia coli was 0.25 .mu.g/mL. **Pharmaceutical** tablets comprised active ingredient 250, corn starch 40, lactose 98, Mg stearate 8, and talc 4 mg.

IT 60668-15-7P

RL: PREP (Preparation)

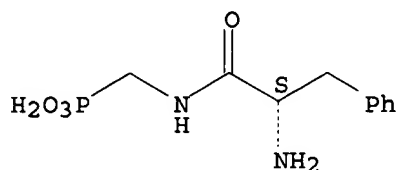
(prepn. of, enzymic, as antibacterial agent)

RN 60668-15-7 CAPLUS

CN Phosphonic acid, [[(2-amino-1-oxo-3-phenylpropyl)amino]methyl]-, (S)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 111658-60-7P 111658-61-8P 111658-62-9P  
111658-63-0P 111658-64-1P 111658-65-2P  
111658-72-1P

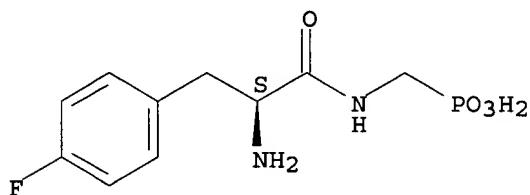
RL: PREP (Preparation)

(prepn. of, enzymic, as bactericide)

RN 111658-60-7 CAPLUS

CN Phosphonic acid, [[[2-amino-3-(4-fluorophenyl)-1-oxopropyl]amino]methyl]-,  
(S)- (9CI) (CA INDEX NAME)

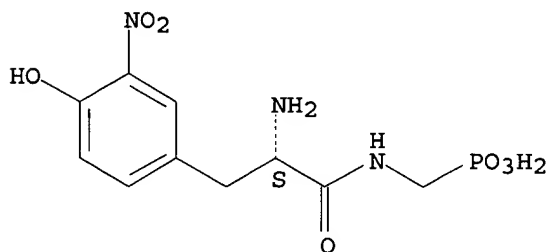
Absolute stereochemistry.



RN 111658-61-8 CAPLUS

CN Phosphonic acid, [[[2-amino-3-(4-hydroxy-3-nitrophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

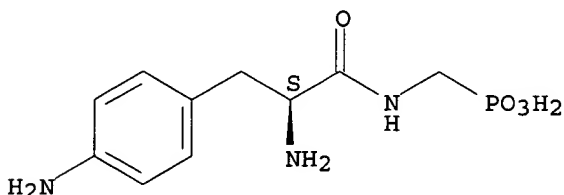
Absolute stereochemistry.



RN 111658-62-9 CAPLUS

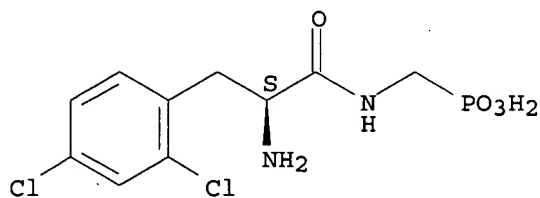
CN Phosphonic acid, [[[2-amino-3-(4-aminophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



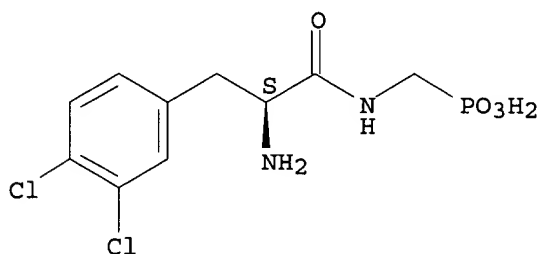
RN 111658-63-0 CAPLUS  
 CN Phosphonic acid, [[2-amino-3-(2,4-dichlorophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



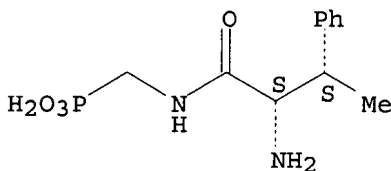
RN 111658-64-1 CAPLUS  
 CN Phosphonic acid, [[2-amino-3-(3,4-dichlorophenyl)-1-oxopropyl]amino]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



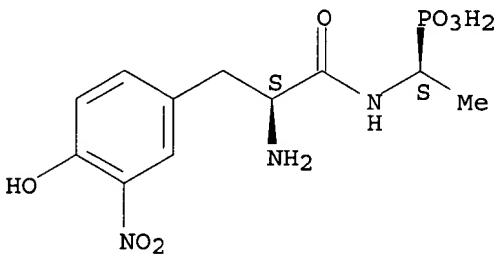
RN 111658-65-2 CAPLUS  
 CN Phosphonic acid, [[(2-amino-1-oxo-3-phenylbutyl)amino]methyl]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 111658-72-1 CAPLUS  
 CN Phosphonic acid, [1-[[2-amino-3-(4-hydroxy-3-nitrophenyl)-1-oxopropyl]amino]ethyl]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 1-5

L17 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:171909 CAPLUS

DOCUMENT NUMBER: 136:216887

TITLE: Preparation of **phosphate** derivatives as immunosuppressants

INVENTOR(S): Mandala, Suzanne; Bergstrom, James; Hajdu, Richard; Rosen, Hugh; Parsons, William H.; Card, Deborah J.; Maccoss, Malcolm

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

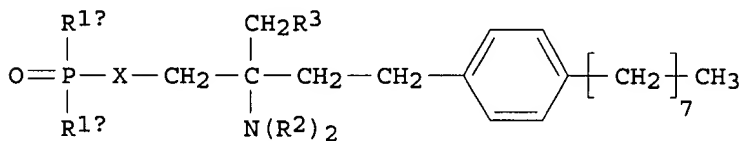
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018395	A1	20020307	WO 2001-US26789	20010828

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-229438P P 20000831

OTHER SOURCE(S): MARPAT 136:216887

GI



AB Immunoregulatory compds. [I; wherein: X = O, S, NR1, (CH2)1-2, optionally substituted with 1-4 halo groups (R1 = H, (C1-C4)alkyl, (C1-C4)haloalkyl); R1a = H, OH, (C1-C4)alkyl, (C1-C4)alkyloxy, the alkyl and alkyloxy portions being optionally substituted with 1-3 halo groups; R1b = H, OH, (C1-C4)alkyl, (C1-C4)haloalkyl; R2 = H, (C1-C4)alkyl, (C1-C4)haloalkyl; and R3 = H, OH, halo, (C1-C4)alkyloxy, (C1-C4)haloalkyloxy], as well as the pharmaceutically acceptable salts and hydrates thereof, are disclosed. Thus, a multistep prepn. of 3-amino-3-hydroxymethyl-5-(4-octylphenyl)pentylphosphonic acid is described. The compds. are useful as immunosuppressants, particularly in the treatment of bone marrow and organ transplant rejection. **Pharmaceutical** compns. and methods of use are included.

IT 402615-93-4P 402615-95-6P 402615-99-0P  
402616-00-6P 402616-04-0P 402616-06-2P  
402616-10-8P 402616-11-9P 402616-14-2P  
402616-15-3P 402616-18-6P 402616-20-0P  
402616-25-5P

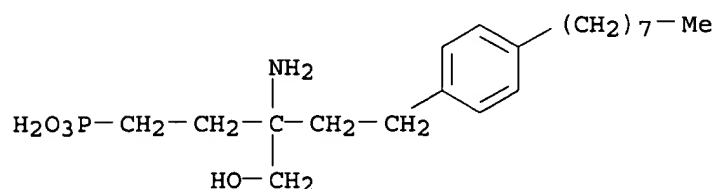
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)



(prepn. of phosphate derivs. as immunosuppressants)

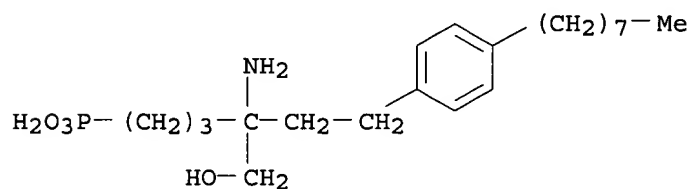
RN 402615-93-4 CAPLUS

CN Phosphonic acid, [3-amino-3-(hydroxymethyl)-5-(4-octylphenyl)pentyl]-  
(9CI) (CA INDEX NAME)



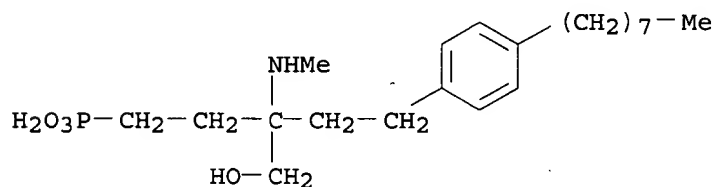
RN 402615-95-6 CAPLUS

CN Phosphonic acid, [4-amino-4-(hydroxymethyl)-6-(4-octylphenyl)hexyl]- (9CI)  
(CA INDEX NAME)



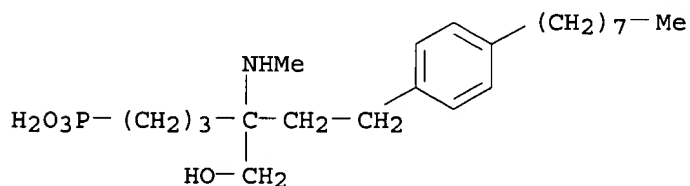
RN 402615-99-0 CAPLUS

CN Phosphonic acid, [3-(hydroxymethyl)-3-(methylamino)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



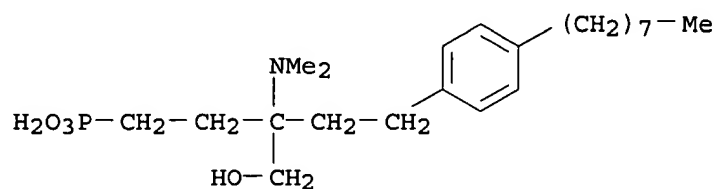
RN 402616-00-6 CAPLUS

CN Phosphonic acid, [4-(hydroxymethyl)-4-(methylamino)-6-(4-octylphenyl)hexyl]- (9CI) (CA INDEX NAME)



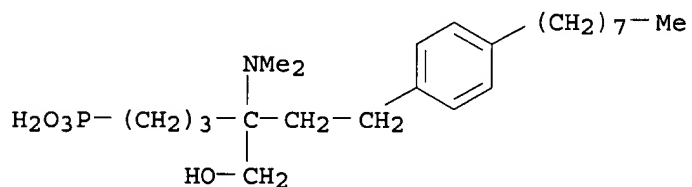
RN 402616-04-0 CAPLUS

CN Phosphonic acid, [3-(dimethylamino)-3-(hydroxymethyl)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



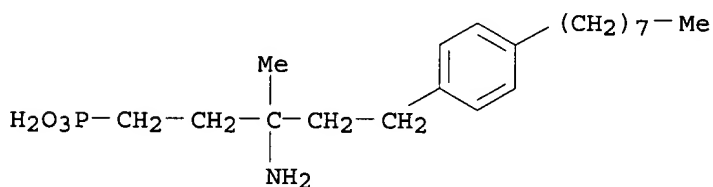
RN 402616-06-2 CAPLUS

CN Phosphonic acid, [4-(dimethylamino)-4-(hydroxymethyl)-6-(4-octylphenyl)hexyl] - (9CI) (CA INDEX NAME)



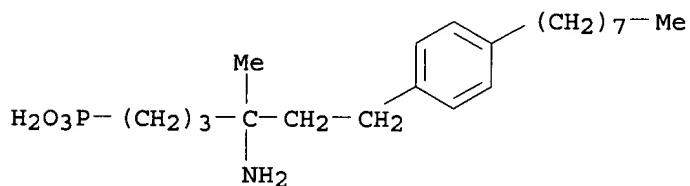
RN 402616-10-8 CAPLUS

CN Phosphonic acid, [3-amino-3-methyl-5-(4-octylphenyl)pentyl] - (9CI) (CA INDEX NAME)



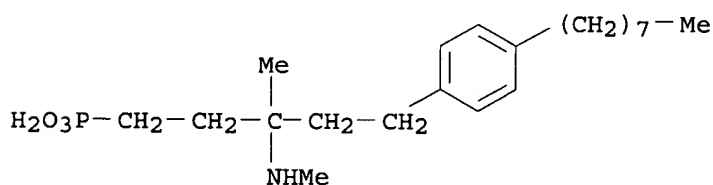
RN 402616-11-9 CAPLUS

CN Phosphonic acid, [4-amino-4-methyl-6-(4-octylphenyl)hexyl] - (9CI) (CA INDEX NAME)

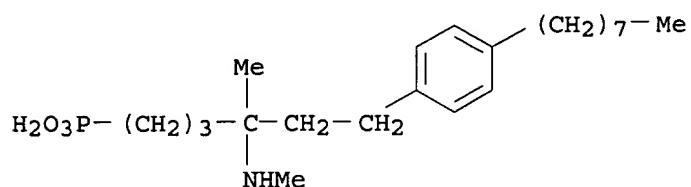


RN 402616-14-2 CAPLUS

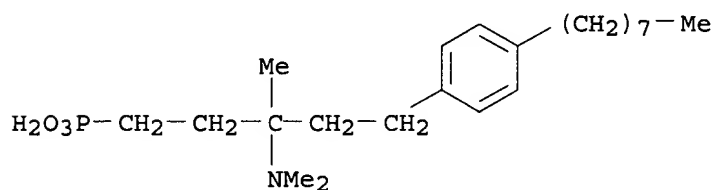
CN Phosphonic acid, [3-methyl-3-(methylamino)-5-(4-octylphenyl)pentyl] - (9CI) (CA INDEX NAME)



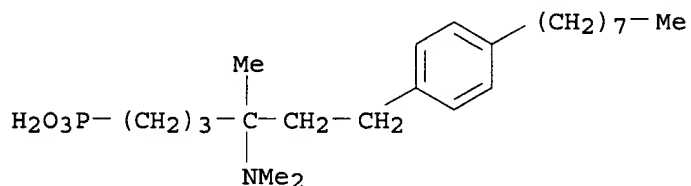
RN 402616-15-3 CAPLUS  
 CN Phosphonic acid, [4-methyl-4-(methylamino)-6-(4-octylphenyl)hexyl]- (9CI)  
 (CA INDEX NAME)



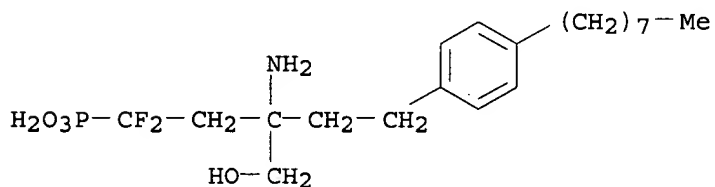
RN 402616-18-6 CAPLUS  
 CN Phosphonic acid, [3-(dimethylamino)-3-methyl-5-(4-octylphenyl)pentyl]-  
 (9CI) (CA INDEX NAME)



RN 402616-20-0 CAPLUS  
 CN Phosphonic acid, [4-(dimethylamino)-4-methyl-6-(4-octylphenyl)hexyl]-  
 (9CI) (CA INDEX NAME)



RN 402616-25-5 CAPLUS  
 CN Phosphonic acid, [3-amino-1,1-difluoro-3-(hydroxymethyl)-5-(4-octylphenyl)pentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:31512 CAPLUS  
 DOCUMENT NUMBER: 134:95480  
 TITLE: Sulfonamidomethyl **phosphonate** inhibitors of  
 .beta.-lactamase

INVENTOR(S): Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail  
 PATENT ASSIGNEE(S): Methylgene Inc., Can.  
 SOURCE: PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002411	A1	20010111	WO 2000-US18344	20000705
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1194436	A1	20020410	EP 2000-943381	20000705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-142362P P 19990706  
 WO 2000-US18344 W 20000705

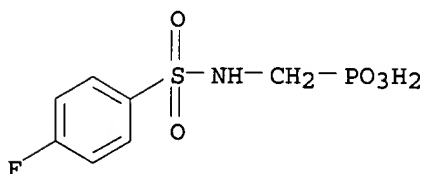
OTHER SOURCE(S): MARPAT 134:95480

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel .beta.-lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic .beta.-lactamase inhibitors presently available and which do not require a .beta.-lactam pharmacophore. The invention also provides **pharmaceutical** compns. and methods for inhibiting bacterial growth. Prepn. of compds. is also described.

IT **318462-29-2P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction; sulfonamidomethyl phosphonate .beta.-lactamase inhibitor prepn. and antibacterial use)

RN 318462-29-2 CAPLUS

CN Phosphonic acid, [[[4-fluorophenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:531658 CAPLUS

DOCUMENT NUMBER: 133:144896

TITLE: **Phosphonated** agents and their antiangiogenic and antitumorigenic use

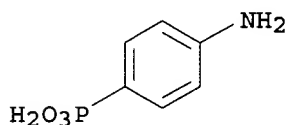
INVENTOR(S): Collins, Delwood C.; Gagliardi, Antonio R.; Nickel, Peter

PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA

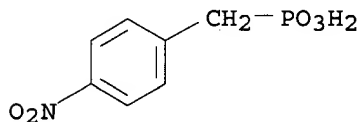
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 899,996,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096730	A	20000801	US 1998-121124	19980723
US 6160166	A	20001212	US 1999-357925	19990721
PRIORITY APPLN. INFO.:			US 1997-899996	B2 19970724
			US 1998-121124	A3 19980723

OTHER SOURCE(S): MARPAT 133:144896  
AB Phosphonic acid agents are synthesized and characterized which are potent inhibitors of angiogenesis, tumorigenesis and metalloproteinase activity. Their method of use for the inhibition of angiogenesis and metalloproteinase and the treatment of tumors is also shown.  
IT 5337-17-7, 4-Aminobenzenephosphonic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. and **pharmaceutical** compn. of antiangiogenic and antitumorigenic phosphonic acid agents)  
RN 5337-17-7 CAPLUS  
CN Phosphonic acid, (4-aminophenyl)- (9CI) (CA INDEX NAME)



IT 1205-62-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and **pharmaceutical** compn. of antiangiogenic and antitumorigenic phosphonic acid agents)  
RN 1205-62-5 CAPLUS  
CN Phosphonic acid, [(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:745745 CAPLUS  
DOCUMENT NUMBER: 132:59008  
TITLE: Inhibition of .beta.2glycoprotein I binding to anionic **phospholipids**: A strategy for the development of antiphospholipid syndrome-specific **drugs**  
AUTHOR(S): Kohles, Joseph D.; Petersheim, Matthew; Debari, Vincent A.  
CORPORATE SOURCE: The Rheumatology Laboratory, Department of Medicine, St. Joseph's Hospital and Medical Center, Paterson, NJ, 07503, USA  
SOURCE: Drug Design and Discovery (1999), 16(3), 227-236

CODEN: DDDIEV; ISSN: 1055-9612

PUBLISHER: Harwood Academic Publishers  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The binding of .beta.2glycoprotein I (.beta.2GPI) to anionic phospholipids (PL) leads to the presentation of one or more epitopes recognized by autoantibodies from patients with antiphospholipid syndrome (APS). The inhibition of .beta.2GPI binding to PL mixts. coated on polystyrene microtiter wells (MTW) and to large, multilamellar PL vesicles (LMV) was examd. Inhibitors included phosphorylated monosaccharide metabolites, myo-inositol monophosphate (IMP), hexaphosphate (IHP) and hexasulfate (IHS), pyrophosphate (PPi), Me bisphosphonate (MBP) and Ph phosphonate, and a series of carboxylic and arom. sulfonic acids. Inhibitors were incubated with .beta.2GPI at 37.degree. for 2 h either with dimyristoylphosphatidic acid, 80%/dimyristoylphosphatidyl choline, 20% (DMPA/DMPC) coated on MTW or in a suspension of LMV. Phospholipid-bound .beta.2GPI to PA/PC on MTW was detected using an immunoassay based on rabbit anti-.beta.2GPI; free .beta.2GPI (not bound to LMV) was detected by fluorescence spectroscopy. Inhibition was studied over the range 0.01-9.0 .mu.moles/10-4L (0.1-90 mM). Inhibition at max. concn. in the MTW system ranged from 0.1% (for ADP) to > 94% (for IHP). IHP also provided the greatest inhibition in the LMV system (76%) and was also effective in displacing .beta.2GPI already bound to PL surfaces (.apprx.50% displaced at 0.25 mM). These data suggest that a strategy for development of therapeutic agents for APS may be based on the use of small cyclic, org. oligoanions such as inositol derivs. to act as ligands for lysine residues at the PL binding site of .beta.2GPI.

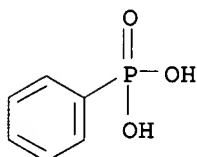
IT 1571-33-1, Phenyl phosphonic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of .beta.2glycoprotein I binding to anionic phospholipids as a strategy for antiphospholipid syndrome-specific **drugs**)

RN 1571-33-1 CAPLUS

CN Phosphonic acid, phenyl- (6CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:571294 CAPLUS

DOCUMENT NUMBER: 131:295122

TITLE: NMR-based discovery of **phosphotyrosine** mimetics that bind to the Lck SH2 domain

AUTHOR(S): Hajduk, Philip J.; Zhou, Ming-Ming; Fesik, Stephen W.

CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, 60064, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(16), 2403-2406

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Using an NMR-based screen, a series of novel phosphotyrosine mimetics were discovered that bind to the SH2 domain of Lck. These compds. may serve as useful leads for the design of nonpeptide inhibitors of SH2 domains with

improved bioavailability and metabolic stability compared to the natural ligands that contain phosphotyrosine.

IT 6881-57-8 18896-56-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NMR-based discovery of phosphotyrosine mimetics that bind to Lck SH2 domain)

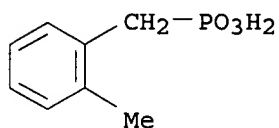
RN 6881-57-8 CAPLUS

CN Phosphonic acid, (phenylmethyl)- (9CI) (CA INDEX NAME)

Ph-CH<sub>2</sub>-PO<sub>3</sub>H<sub>2</sub>

RN 18896-56-5 CAPLUS

CN Phosphonic acid, [(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT